EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	0	todo/au	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:39
L2	0	todo.au.	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:40
L3	719	todo	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:40
L4	8415	satoru	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:40
L5	6	l3 and l4	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:40
L6	1335	514/419.ccls.	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:41
L7	1117	514/415.ccls.	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:41
L8	3	l6 and satoru	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:41
L9	0	l7 and satoru	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:41
L10	313	l6 and (ischemia or ischemic or reperfusion)	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:56
L11	41156	l7and (ischemia or ischemic or reperfusion)	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:42
L12	225	l7 and (ischemia or ischemic or reperfusion)	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:42
L13	2175	shionogi	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:54
L14	290	l13 and ischemia	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:47
L15	103	l14 and reperfusion	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:48
L16	0	l15 and spla2	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:48
L17	7	shionogi and pla2	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:54
L18	2	l17 and (ischemia or ischemic or reperfusion)	US-PGPUB; USPAT	OR	OFF	2006/04/14 11:56

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NEWS 4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 8	JAN 30	Saved answer limit increased
NEWS 9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
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NEWS 11	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 12	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 13	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 14	FEB 28	TOXCENTER reloaded with enhancements
NEWS 15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 16	MAR 01	INSPEC reloaded and enhanced
NEWS 17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18	MAR 08	X.25 communication option no longer available after June 2006
NEWS 19	MAR 22	EMBASE is now updated on a daily basis
NEWS 20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 22	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 23	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 25	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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=> s 172732-68-2/rn  or 172733-42-5/rn
'RN' IS NOT A VALID FIELD CODE
'RN' IS NOT A VALID FIELD CODE
L1          40 172732-68-2/RN OR 172733-42-5/RN

=> dup rem l1
PROCESSING COMPLETED FOR L1
L2          40 DUP REM L1 (0 DUPLICATES REMOVED)

=> focus
PROCESSING COMPLETED FOR L2
L3          40 FOCUS L2 1-

=> d ibib abs 1-40

L3   ANSWER 1 OF 40  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2002:71855  CAPLUS
DOCUMENT NUMBER:          136:134669
TITLE:                    Indoleoxoacetamides and tetrahydrocarbazoles as sPLA2
                          inhibitors in treating sepsis
INVENTOR(S):              Loh, Andrew; Macias, William Louis; Skerjanec, Simona
PATENT ASSIGNEE(S):       Eli Lilly and Company, USA
SOURCE:                   PCT Int. Appl., 152 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2002005796         A2    20020124    WO 2001-US16509         20010629
     WO 2002005796         A3    20020906
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2413582            AA    20020124    CA 2001-2413582         20010629
     EP 1303262            A2    20030423    EP 2001-952123          20010629
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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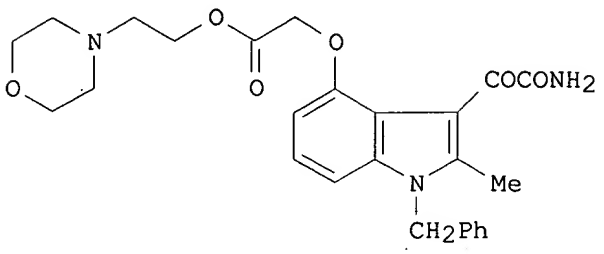
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001012460	A	20030722	BR 2001-12460		20010629
JP 2004503586	T2	20040205	JP 2002-511729		20010629
US 2004110825	A1	20040610	US 2003-332178		20030103
PRIORITY APPLN. INFO.:			US 2000-218928P	P	20000714
			US 2000-256398P	P	20001218
			WO 2001-US16509	W	20010629

OTHER SOURCE(S): MARPAT 136:134669
GI



I

AB Indoleoxoacetamides and tetrahydrocarbazoles were prepared for use as sPLA2 inhibitors in treating sepsis. Thus, 3-methoxy-2-methylaniline was N-tert.-butoxycarbonylated, lithiated at the Me group with sec-butyllithium and then treated with N-methoxy-N-methylacetamide, and cyclized with CF3CO2H to give 4-methoxy-2-methylindole. The latter compound was N-benzylated, demethylated, treated with BrCH2CO2Me, followed by ester hydrolysis and esterification with 4-(2-chloroethyl)morpholine hydrochloride to give the indole I. The results of clin. trials are reported.

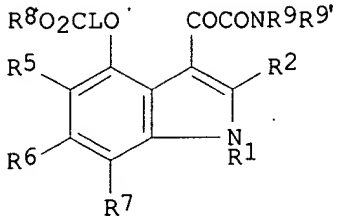
L3 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:798191 CAPLUS
DOCUMENT NUMBER: 135:331344
TITLE: Preparation of 1H-indole-3-glyoxylamide compounds by azide cyclization-based synthesis and their use as sPLA2 inhibitors
INVENTOR(S): Sawyer, Jason Scott; Smith, Edward C. R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081306	A2	20011101	WO 2001-US8644	20010405
WO 2001081306	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-198182P P 20000419
OTHER SOURCE(S): CASREACT 135:331344; MARPAT 135:331344
GI



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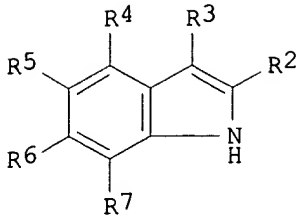

II

AB SPLA2 inhibitor compds. I were prepared via an azide cyclization reaction that forms the intermediate indole compds. II [R1 = H, R10, COR10; R2 is R20, OR20, SR20, NR20R20', CO2R20, C(O)R20; R3, R4, R5, R6 and R7 are each individually H, halo, R, OR, SR, NRR', C(O)R, CO2R, S(O)R, S(O)2R; at least one of R4 and R5 is not H; each R, R10 and R20 is individually alkyl, alkenyl, alkynyl, aryl or heterocyclic radical; each R' and R20' is individually H, alkyl, alkenyl, alkynyl, aryl or heterocyclic radical; R8 = alkali metal, H, alkyl, etc.; R9, R9' = H, alkyl, alkenyl, etc.]. E.g., a solution of 2-azido-3-(2-methoxyphenyl)-2-propenoic acid Et ester in toluene was refluxed under an inert atmospheric to give 30% 4-methoxy-2-indolecarboxylic acid Et ester. [3-(2-Amino-1,2-dioxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxylacetic acid was prepared in several further steps from the indolecarboxylate ester.

L3 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:676601 CAPLUS
DOCUMENT NUMBER: 135:236446
TITLE: Compositions containing potential secretory phospholipase A2 (sPLA2) inhibitors for the treatment of pain
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066111	A1	20010913	WO 2001-US9	20010116

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-188135P P 20000309
OTHER SOURCE(S): MARPAT 135:236446
AB A method is disclosed for the treatment of pain by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylamide or sPLA2 inhibitor in combination with propoxyphene. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:676600 CAPLUS
DOCUMENT NUMBER: 135:236432
TITLE: Methods and formulations containing secretory phospholipase A2 (sPLA2) inhibitors for the treatment of renal dysfunction
INVENTOR(S): Macias, William Louis; Meador, Vincent Phillip

PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066110	A2	20010913	WO 2001-US7	20010116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1265607	A2	20021218	EP 2001-956186	20010116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525901	T2	20030902	JP 2001-564763	20010116
US 2003087944	A1	20030508	US 2002-203436	20020805
PRIORITY APPLN. INFO.:			US 2000-188039P P	20000309
			WO 2001-US7 W	20010116

OTHER SOURCE(S): MARPAT 135:236432
AB A method is disclosed for the treatment of symptoms associated with renal dysfunction by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylamide. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.

L3 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:565004 CAPLUS
DOCUMENT NUMBER: 135:152715
TITLE: Secretory phospholipase A2 inhibitors for the treatment of inflammation
INVENTOR(S): Fleisch, Jerome Herbert; Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055108	A2	20010802	WO 2001-US11	20010116
WO 2001055108	A3	20011220		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001036440	A5	20010807	AU 2001-36440	20010116
PRIORITY APPLN. INFO.:			US 2000-177907P P	20000125
			WO 2001-US11 W	20010116

OTHER SOURCE(S): MARPAT 135:152715
AB Title inhibitors for the treatment of inflammation (no data) comprise indoleglyoxamides, carbazoles, etc.

L3 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:453013 CAPLUS
DOCUMENT NUMBER: 135:46087
TITLE: Preparation of indoles as drug intermediates
INVENTOR(S): Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044185	A1	20010621	WO 2000-US32447	20001211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-171218P P 19991216
OTHER SOURCE(S): CASREACT 135:46087; MARPAT 135:46087
AB HZR2 [R2 = H, OH, NH2, alkyl, aryl, etc.; Z = (un)substituted 1,2-indolediyl] were prepared by cyclization of R2CH:CR3Z1NO2 [R3 = H, halo, alkyl, alkoxy, etc.; Z1 = (un)substituted 1,2-phenylene] in the presence of CO and a catalyst.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453012 CAPLUS
DOCUMENT NUMBER: 135:46086
TITLE: Preparation of indoles as drug intermediates
INVENTOR(S): Martinelli, Michael John; Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044184	A1	20010621	WO 2000-US32444	20001211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRIORITY APPLN. INFO.: US 1999-171230P P 19991216
OTHER SOURCE(S): MARPAT 135:46086
AB R1ZR2 [R1 = H, alkyl, aryl, alkanoyl, aroyl, etc.; R2 = H, OH, NH2, alkyl, alkoxy, aryl, alkanoyl, aroyl, etc.; Z = (un)substituted indole-1,2-diyl] were prepared by cyclization of R2CONR1Z1CHRR3 [R3 = trisubstituted P; Z1 = (un)substituted 1,2-phenylene].
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453010 CAPLUS

DOCUMENT NUMBER: 135:46085
TITLE: Preparation of indoles as drug intermediates
INVENTOR(S): Beight, Douglas Wade; Sawyer, Jason Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044182	A2	20010621	WO 2000-US32446	20001211
WO 2001044182	A3	20020307		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-171236P P 19991216
OTHER SOURCE(S): MARPAT 135:46085
AB R1ZR2 [R1 = H, alkyl, aryl, alkanoyl, aroyl, etc.; R2 = H, OH, NH2, alkyl, alkoxy, aryl, alkanoyl, aroyl, etc.; Z = (un)substituted 1,2-indolediyl] were prepared by cyclization of R2COCHR3Z1NRR1 [R = amino-protective group; R3 = H, halo, alkyl, alkoxy, etc.; Z1 = (un)substituted 1,2-phenylene].

L3 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:441578 CAPLUS
DOCUMENT NUMBER: 133:53700
TITLE: Combination therapy for the treatment of sepsis with activated protein C and a secretory phospholipase A2 (sPLA2) inhibitor
INVENTOR(S): Maciak, Ronald Steven
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 279 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037022	A2	20000629	WO 1999-US30433	19991220
WO 2000037022	A3	20020613		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2358492	AA	20000629	CA 1999-2358492	19991220
AU 2000019408	A1	20000712	AU 2000-19408	19991220
EP 1214041	A2	20020619	EP 1999-963109	19991220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY

JP 2002542148	T2	20021210	JP 2000-589136	19991220

PRIORITY APPLN. INFO.: US 1998-113124P P 19981221
WO 1999-US30433 W 19991220
OTHER SOURCE(S): MARPAT 133:53700
AB The invention provides a method of prevention and treatment for sepsis for

mammals. The treatment is a combination therapy of activated protein C and an sPLA2 inhibitor.

L3 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:723018 CAPLUS
DOCUMENT NUMBER: 131:332096
TITLE: Secretory phospholipase A2 (sPLA2) inhibitors for treatment of inflammatory bowel disease
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9957100	A1	19991111	WO 1999-US8654		19990420
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2330856	AA	19991111	CA 1999-2330856		19990420
AU 9936562	A1	19991123	AU 1999-36562		19990420
BR 9910095	A	20001226	BR 1999-10095		19990420
EP 1084108	A1	20010321	EP 1999-918711		19990420
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TR 200003194	T2	20010321	TR 2000-200003194		19990420
US 6340699	B1	20020122	US 1999-673675		19990420
JP 2002513783	T2	20020514	JP 2000-547070		19990420
ZA 2000005563	A	20020110	ZA 2000-5563		20001010
NO 2000005479	A	20001220	NO 2000-5479		20001031
HR 2000000739	A1	20011031	HR 2000-739		20001031
PRIORITY APPLN. INFO.:			US 1998-83874P	P	19980501
			WO 1999-US8654	W	19990420

OTHER SOURCE(S): MARPAT 131:332096
AB A method is disclosed for the treatment of inflammatory bowel disease by administering to a human in need thereof a therapeutically effective amount of an sPLA2 inhibitor, such as a 1H-indole-3-glyoxylamide sPLA2 inhibitor.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:350593 CAPLUS
DOCUMENT NUMBER: 131:5185
TITLE: Preparation of 3-aminooxalyl-4-indolyloxyacetic acids and analogs as sPLA2 inhibitors
INVENTOR(S): Watanabe, August Masaru
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925339	A1	19990527	WO 1998-US24234	19981113
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,				

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2310249	AA	19990527	CA 1998-2310249		19981113
AU 9914058	A1	19990607	AU 1999-14058		19981113
EP 1039901	A1	20001004	EP 1998-957915		19981113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
JP 2001522883	T2	20011120	JP 2000-520773		19981113
US 6436983	B1	20020820	US 2000-529247		20000410
PRIORITY APPLN. INFO.:			US 1997-66036P	P	19971114
			WO 1998-US24234	W	19981113

OTHER SOURCE(S): MARPAT 131:5185
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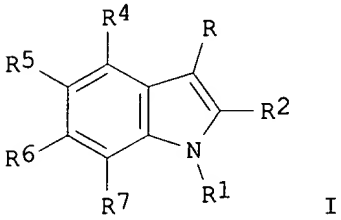

I

AB Title compds. (I; R = COCONH2)[II; R1 = (un)substituted CH2Ph, CH2C6H4Ph-4, CH2C6H4(CH2Ph)-4, etc.; R2 = halo, Me, Et, Pr, cyclopropyl; 1 of R4,R5 = ZR3 and the other = H or ZR3; R3 = CO2H, SO3H, P(O)(OH)2; R6,R7 = H, halo, alkyl, alkoxy, etc.; when R4 ≠ H Z = CH2CH2, OCH2, OCHMe, etc.; when R5 ≠ H Z = OZ1C6H4, NHZ1C6H4, C6H4C6H4Z1C6H4, etc.; Z1 = (un)substituted CH2] were prepared as sPLA2 inhibitors (no data). Thus, II (R1 = CH2Ph, R2 = Et, R4 = OCH2CO2H, R5-R7 = H) was prepared starting from 2,3-Me(MeO)C6H3NHCO2CMe3 and EtCON(OMe)Me.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:325791 CAPLUS
DOCUMENT NUMBER: 130:338017
TITLE: Method for the treatment of disorders associated with apoptosis using N-heterocyclic glyoxylamide compounds
INVENTOR(S): Yagami, Tatsuro; Takasu, Nobuo
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924033	A1	19990520	WO 1997-JP4104	19971112
W: JP, US				
CA 2308269	AA	19990520	CA 1998-2308269	19981110
WO 9924026	A2	19990520	WO 1998-JP5042	19981110
WO 9924026	A3	19990715		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9897630	A1	19990531	AU 1998-97630	19981110
EP 1037630	A2	20000927	EP 1998-951749	19981110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003522720	T2	20030729	JP 2000-520118	19981110
US 2003149000	A1	20030807	US 2002-219931	20020816
PRIORITY APPLN. INFO.:			WO 1997-JP4104 A	19971112
			WO 1998-JP5042 W	19981110
			US 2000-530781 A1	20000505

OTHER SOURCE(S): MARPAT 130:338017

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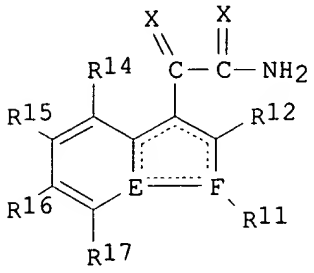

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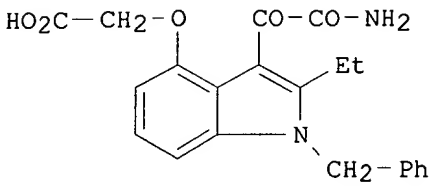

II

AB A method is disclosed for the treatment of disorders associated with apoptosis using N-heterocyclic glyoxylamide compds. I [E, F = C, N; the dotted line indicates the presence or absence of a double bond; R11 = alkyl, etc.; R12 = H, halo, etc.; R14 = H, etc.; R15 = H, etc.; R16 = H, carboxyl or ester thereof; R17 = H, alkyl, etc.; X = O, S]. Indole derivative II (preparation given) in vitro suppressed neuronal death depending on its concentration

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:233807 CAPLUS
DOCUMENT NUMBER: 130:267344
TITLE: Compounds for treatment of cystic fibrosis
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916453	A1	19990408	WO 1998-US19906	19980923
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304482	AA	19990408	CA 1998-2304482	19980923
AU 9896641	A1	19990423	AU 1998-96641	19980923
EP 1007056	A1	20000614	EP 1998-950654	19980923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001517707	T2	20011009	JP 2000-513587	19980923
US 6576654	B1	20030610	US 2000-508209	20000308
PRIORITY APPLN. INFO.:			US 1997-60128P P	19970926
			WO 1998-US19906 W	19980923

OTHER SOURCE(S): MARPAT 130:267344
AB Title compds., sPLA2 inhibitors (no data), were selected from indoleglyoxylamides, -acetamides, -acetic acid hydrazides, etc. Preparation of [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-phenylmethyl-1H-indol-4-yl]oxy]acetic acid was described.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:172589 CAPLUS
DOCUMENT NUMBER: 130:196575
TITLE: Method for treatment of non-rheumatoid arthritis by administration of an sPLA2 inhibitor.
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909978	A1	19990304	WO 1998-US17778	19980827
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301586	AA	19990304	CA 1998-2301586	19980827
AU 9891231	A1	19990316	AU 1998-91231	19980827
EP 1011670	A1	20000628	EP 1998-943430	19980827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
JP 2001513555	T2	20010904	JP 2000-507368	19980827
ZA 9807867	A	20000228	ZA 1998-7867	19980828
US 2003119860	A1	20030626	US 2000-486472	20000224
US 6610728	B2	20030826		

PRIORITY APPLN. INFO.: US 1997-57726P P 19970828
WO 1998-US17778 W 19980827
OTHER SOURCE(S): MARPAT 130:196575
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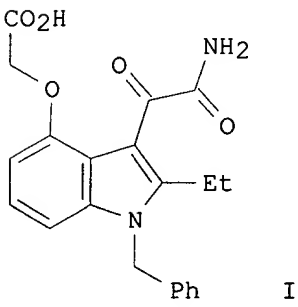

I

AB A method for treatment of non-rheumatoid arthritis by administration of of an sPLA2 inhibitor is claimed (no data). Thus, preferred compound (I) was prepared in 6 steps via 2-ethyl-4-methoxy-1H-indole.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:31976 CAPLUS
DOCUMENT NUMBER: 130:81400
TITLE: Process for preparing 4-substituted-1H-indole-3-glyoxamides
INVENTOR(S): Khau, Vien Van; Martinelli, Michael John; Pawlak, Joseph Matthew
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 887342	A2	19981230	EP 1998-304994	19980625
EP 887342	A3	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 455581	B	20010921	TW 1998-87109902	19980619
CA 2293459	AA	19990107	CA 1998-2293459	19980622
WO 9900360	A1	19990107	WO 1998-US12173	19980622
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9879613	A1	19990119	AU 1998-79613	19980622
AU 735516	B2	20010712		
TR 200000440	T2	20000721	TR 2000-200000440	19980622
BR 9810481	A	20000912	BR 1998-10481	19980622
JP 2002506460	T2	20020226	JP 1999-505568	19980622
NZ 501780	A	20020828	NZ 1997-501780	19980622
ZA 9805561	A	20000110	ZA 1998-5561	19980625
EG 22077	A	20020731	EG 1998-744	19980625
US 5986106	A	19991116	US 1998-105381	19980626
MX 9911973	A	20000430	MX 1999-11973	19991217
NO 9906432	A	20000209	NO 1999-6432	19991223
CN 1343662	A	20020410	CN 2001-132979	20010907

PRIORITY APPLN. INFO.:			US 1997-50877P	P 19970626
			US 1997-50891P	P 19970626
			WO 1998-US12173	W 19980622

OTHER SOURCE(S): MARPAT 130:81400
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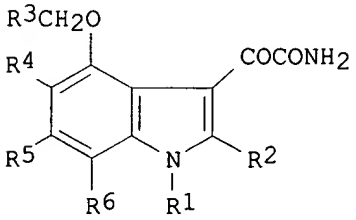


I

AB An 8-step process for preparing 1H-indole-3-glyoxamides I [R1 = alkyl, aralkyl; R2 = H, halogen, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkylthio, aryl, aryloxy, heterocyclic; R3 = CO2H, SO3H, P(O)(OH)2; R4-R6 = H, alkyl, alkoxy, haloalkoxy, haloalkyl, Br, Cl, F, I, aryl], useful for inhibiting sPLA2, from R2COCH2CO2R7 [R7 = alkyl, aryl, heterocyclic] is claimed. Thus, EtCOCH2CO2Me was treated with 1,3-cyclohexanedione to give 2-(2-oxobutyl)-1,3-cyclohexanedione which was cyclized to tetrahydroindole

with PhCH2NH2. The tetrahydroindole was dehydrogenated over Pd-C, treated with BrCH2CO2Me, treated with oxalyl chloride and NH3, and subjected to ester hydrolysis to give I [R1 = CH2Ph, R2 = Et, R3 = CO2H, R4-R6 = H].

L3 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:708940 CAPLUS
DOCUMENT NUMBER: 129:326101
TITLE: Method for the treatment of stroke using N-heterocyclic glyoxylamide compounds
INVENTOR(S): Genba, Takefumi; Hori, Yozo
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847507	A1	19981029	WO 1997-JP1421	19970424
W: JP				
CA 2285094	AA	19981029	CA 1998-2285094	19980423
WO 9847508	A1	19981029	WO 1998-JP1880	19980423
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9870807	A1	19981113	AU 1998-70807	19980423
EP 977566	A1	20000209	EP 1998-917656	19980423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002504893	T2	20020212	JP 1998-545475	19980423
US 6214855	B1	20010410	US 1999-402084	19990929

PRIORITY APPLN. INFO.:
JP 1998-545402 A 19970424
WO 1997-JP1421 A 19970424
WO 1998-JP1880 W 19980423

OTHER SOURCE(S): MARPAT 129:326101
AB A method or composition is disclosed for the treatment and/or prevention of stroke using N-heterocyclic glyoxylamide compds.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:672466 CAPLUS
DOCUMENT NUMBER: 129:298393
TITLE: Method for treatment of chronic bronchitis
INVENTOR(S): Macias, William L.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9842343	A1	19981001	WO 1998-US5791	19980324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,				

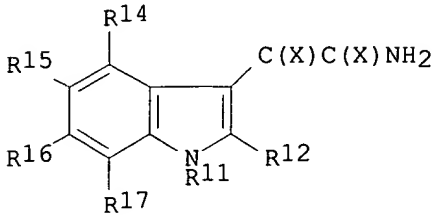
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5972988	A	19991026	US 1998-42686	19980312
ZA 9802454	A	19990923	ZA 1998-2454	19980323
CA 2284757	AA	19981001	CA 1998-2284757	19980324
AU 9867717	A1	19981020	AU 1998-67717	19980324
EP 1007046	A1	20000614	EP 1998-913085	19980324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001524962	T2	20011204	JP 1998-545925	19980324

PRIORITY APPLN. INFO.: US 1997-42101P P 19970326
WO 1998-US5791 W 19980324

OTHER SOURCE(S): MARPAT 129:298393

GI



I

AB Chronic bronchitis is treated in mammals by administering a therapeutically effective amount of a 1H-indole-3-glyoxylamide [I; X = O, S; R11 = (substituted) C7-20 alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, etc., or any of these groups attached through a linking group; R12 = H, halo, C1-3 alkyl, C3-4 cycloalkyl or cycloalkenyl, OMe, OEt, SMe, SEt; R14, R15 = H, non-interfering substituent, acidic group attached through a linker; R16, R17 = H, alkyl, alkoxy, alkylcarbonyl, alkylamino, alkylthio, PhO, NH2, Br, Cl, CO2H, NHNH2, SO3H, etc.] or a prodrug thereof. Thus, Na [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetate (II), administered as a continuous i.v. infusion for 7 days to achieve a blood II level of 400 ng/mL, alleviated smoker's cough in a subject and increased the peak expiratory flow rate measured by spirometry. II was prepared by reaction of N-tert-butoxycarbonyl-3-methoxy-2-methylaniline with sec-BuLi and N-methoxy-N-methylpropanamide followed by F3CCO2H to produce 2-ethyl-4-methoxy-1H-indole, benzylation with PhCH2Br, O-demethylation with BBr3, carboxymethylation with BrCH2CO2Me,, reaction with oxalyl chloride and NH3, and saponification

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

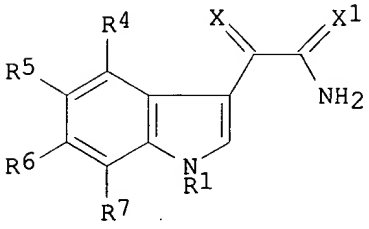
ACCESSION NUMBER: 1995:994542 CAPLUS
DOCUMENT NUMBER: 124:117083
TITLE: Preparation of indole-3-glyoxylamides as sPLA2 inhibitors.
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 675110	A1	19951004	EP 1995-302166	19950331
EP 675110	B1	20020710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2146097	AA	19951002	CA 1995-2146097	19950331
CA 2146097	C	20000321		
FI 9501553	A	19951002	FI 1995-1553	19950331

NO 9501252	A	19951002	NO 1995-1252	19950331
AU 9516217	A1	19951012	AU 1995-16217	19950331
AU 688458	B2	19980312		
JP 07285933	A2	19951031	JP 1995-76117	19950331
JP 3109974	B2	20001120		
CN 1114310	A	19960103	CN 1995-103320	19950331
CN 1067054	B	20010613		
BR 9501404	A	19960305	BR 1995-1404	19950331
HU 72048	A2	19960328	HU 1995-957	19950331
ZA 9502693	A	19960930	ZA 1995-2693	19950331
RU 2128169	C1	19990327	RU 1995-104885	19950331
TW 383302	B	20000301	TW 1995-84103168	19950331
IL 113210	A1	20010128	IL 1995-113210	19950331
PL 180523	B1	20010228	PL 1995-307951	19950331
EP 1081135	A2	20010307	EP 2000-203897	19950331
EP 1081135	A3	20031105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
EP 1197484	A2	20020417	EP 2001-130290	19950331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
AT 220394	E	20020715	AT 1995-302166	19950331
PT 675110	T	20021129	PT 1995-302166	19950331
ES 2179088	T3	20030116	ES 1995-302166	19950331
US 5654326	A	19970805	US 1995-469954	19950606
US 5733923	A	19980331	US 1997-825453	19970328
US 5919810	A	19990706	US 1997-856271	19970514
US 5919943	A	19990706	US 1997-991149	19971216
US 6175021	B1	20010116	US 1999-258680	19990226
US 6433001	B1	20020813	US 2000-714364	20001116
PRIORITY APPLN. INFO.:			US 1994-221916	A 19940401
			EP 1995-302166	A3 19950331
			US 1995-469954	A3 19950606
			US 1997-825453	A1 19970328
			US 1997-856271	A1 19970514
			US 1999-258680	A1 19990226

OTHER SOURCE(S): MARPAT 124:117083

GI



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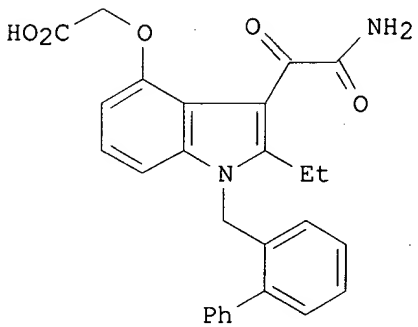


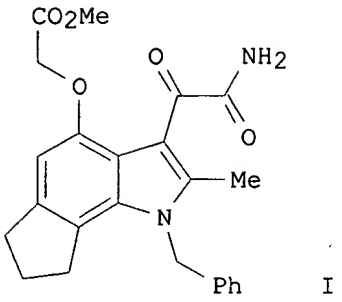
II

AB Title compds. [I; X, X1 = O, S; R1 = (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, optionally connected to N by a linking group; R2 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkylthio, non-interfering substituent; R4, R5 = H, non-interfering substituent, linker-acidic group; R6, R7 = H, non-interfering substituent, (substituted) carbocyclyl, heterocyclyl; with provisos], were prepared Thus, 2-ethyl-4-methoxy-1H-indole was N-alkylated with NaH/2-(bromomethyl)biphenyl (37%) and the product was O-demethylated with BBr3 to give 69% 1-(1,1'-biphenyl-2-ylmethyl)-2-ethyl-4-hydroxy-1H-indole. This was O-alkylated with NaH/BrCH2CO2Me to give 59% 4-indolyloxyacetate ester, which was 3-acylated with (COCl)2 followed by amidation with NH3 and ester hydrolysis to give title compound (II). II inhibited human

secreted PLA2 with IC50 = 4.33 nM.

L3 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:733980 CAPLUS
DOCUMENT NUMBER: 144:17012
TITLE: LY315920NA/S-5920, a selective inhibitor of group IIA secretory phospholipase A2, fails to improve clinical outcome for patients with severe sepsis
AUTHOR(S): Zeiher, Bernhardt G.; Steingrub, Jay; Laterre, Pierre-Francois; Dmitrienko, Alex; Fukiishi, Yonetaka; Abraham, Edward
CORPORATE SOURCE: Eli Lilly and Company, Indianapolis, IN, USA
SOURCE: Critical Care Medicine (2005), 33(8), 1741-1748
CODEN: CCMDC7; ISSN: 0090-3493
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Group IIA secretory phospholipase A2 (sPLA2-IIA), released during inflammation, is increased in severe sepsis, and plasma levels are inversely related to survival. In a previous study, a selective inhibitor of sPLA2-IIA (LY315920NA/S-5920) was well tolerated and appeared to improve survival in a subgroup of patients who received the drug within 24 h of first sepsis-induced organ failure. This study was designed to determine whether improvement in survival could be confirmed in a larger patient population meeting the characteristics of that subgroup. Multicenter, double-blind, placebo-controlled, parallel-group clin. trial of LY315920NA/S-5920 in patients with severe sepsis. Seventy-five institutions worldwide. A total of 373 patients with at least two sepsis-induced organ failures. Patients were randomized 1:1 to receive LY315920NA/S-5920 (target plasma concentration of 800 ng/mL; n = 188) or placebo (n = 185). Study medication was administered as a continuous i.v. infusion for 168 h. The study was terminated after data on 250 patients suggested a significant improvement in 28-day all-cause mortality would not be found if the trial continued as planned. The mortality rate was 39.4% in the LY315920NA/S-5920 group, compared with 31.9% in the placebo group (p = .092). The neg. trend in mortality was most pronounced among patients with cardiovascular failure at baseline (41.6% vs. 28.7%; p = .008) and patients whose culture data at baseline were neg. (42.9% vs. 22.7%; p = .045). The neg. trend in mortality is not explained by adverse events, microbiol., or laboratory data. Continuous 7-day infusion of an inhibitor of sPLA2-IIA had no beneficial effect on 28-day all-cause mortality among severe sepsis patients with at least two organ failures. This study did not confirm earlier promising subgroup results with LY315920NA/S-5920, which provides a reminder that subgroup effects should be viewed cautiously, especially when primary effects are not significant.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:44893 CAPLUS
DOCUMENT NUMBER: 142:280011
TITLE: Carbocyclic[g]indole inhibitors of human nonpancreatic s-PLA2
AUTHOR(S): Sawyer, J. Scott; Beight, Douglas W.; Smith, Edward C. R.; Snyder, David W.; Chastain, Marcia K.; Tielking, Richard L.; Hartley, Lawrence W.; Carlson, Donald G.
CORPORATE SOURCE: Discovery Chemistry Research and Technology and Cardiovascular Research The Lilly Research Laboratories, A Division of Eli Lilly and Company Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(3), 893-896
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:280011
GI



I

AB A vinyl azide cyclization method was used to synthesize three different carbocyclic[g]indole scaffolds, e.g., I, as inhibitors of human nonpancreatic secretory phospholipase A2. Each scaffold demonstrated potent enzyme activity in a chromogenic assay system, with select examples also demonstrating potent activity in a secondary DOC/PC assay. I was a representative of the cyclopent[g]indole series which gave an IC50 of 10 nM for the inhibition of hnps-PLA2 in the chromogenic assay.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:906350 CAPLUS

DOCUMENT NUMBER: 141:374593

TITLE: Effect of a selective inhibitor of secretory phospholipase A2, S-5920/LY315920Na, on experimental acute pancreatitis in rats

AUTHOR(S): Tomita, Yasuhiko; Kuwabara, Kenji; Furue, Shingo; Tanaka, Kazushige; Yamada, Katsutoshi; Ueno, Masahiko; Ono, Takashi; Maruyama, Toshiyuki; Ajiki, Tetsuo; Onoyama, Hirohiko; Yamamoto, Masahiro; Hori, Yozo

CORPORATE SOURCE: Discovery Research Laboratories, Shionogi and Co., Ltd., Osaka, 553-0002, Japan

SOURCE: Journal of Pharmacological Sciences (Tokyo, Japan) (2004), 96(2), 144-154
CODEN: JPSTGJ; ISSN: 1347-8613

PUBLISHER: Japanese Pharmacological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors investigated the efficacy of a potent inhibitor of secretory phospholipase A2 (sPLA2), S-5920/LY315920Na, in an exptl. model of acute pancreatitis in rats. Combined intraductal injection of sodium taurocholate (5 mg/rat) and porcine pancreatic sPLA2-IB (300 μg/rat) caused severe hemorrhagic necrotizing pancreatitis resulting in high mortality, along with rapid increases of catalytic PLA2 and lipase activities in blood plasma and ascites and with gradual increases of plasma amylase and Asp aminotransferase levels over 9 h after the pancreatitis. Prophylactic i.v. treatment with S-5920/LY315920Na significantly reduced mortality at 7 days, and strongly abrogated PLA2 activities in both plasma and ascites along with significant reduction of lipase activity, amylase, Asp aminotransferase, and hemorrhage at 6 h. It also significantly reduced histol. damage such as edema and parenchymal and fat necroses of the pancreatic tissue. This sPLA2 inhibitor could become an effective agent for the treatment of severe acute pancreatitis.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:188831 CAPLUS

DOCUMENT NUMBER: 139:285924

TITLE: Efficacy and safety of LY315920Na/S-5920, a selective inhibitor of 14-kDa group IIA secretory phospholipase A2, in patients with suspected sepsis and organ failure

AUTHOR(S): Abraham, Edward; Naum, Chris; Bandi, Venkata; Gervich, Daniel; Lowry, Stephen F.; Wunderink, Richard; Schein, Roland M.; Macias, William; Skerjanec, Simona; Dmitrienko, Alex; Farid, Nagy; Forgue, S. Thomas; Jiang, Frank

CORPORATE SOURCE: University of Colorado Health Sciences Center, Denver, CO, 80262, USA

SOURCE: Critical Care Medicine (2003), 31(3), 718-728
CODEN: CCMDC7; ISSN: 0090-3493
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Concns. of group IIA secretory phospholipase A2, an inflammatory response mediator, are increased in the plasma of patients with sepsis and septic shock, and the extent of elevation is correlated with mortality. LY315920Na/S-5920 is a selective inhibitor of group IIA secretory phospholipase A2 that has been shown to inhibit serum group IIA secretory phospholipase A2 enzyme activity in patients with severe sepsis. The primary objectives of this study were to determine whether there was a dose-response relationship between two doses of LY315920Na/S-5920 compared with placebo in the reduction of 28-day all-cause mortality in patients with severe sepsis and to determine whether LY315920Na/S-5920 had an acceptable safety profile. Two doses of LY315920Na/S-5920 were tested in a multicenter, double-blind, placebo-controlled trial of parallel design. A total of 586 patients with severe sepsis at 72 institutions in the United States were included. Patients enrolled within 72 h from onset of first sepsis-induced organ failure were randomized (1:1:1) to low-dose LY315920Na/S-5920 (target plasma concentration of 200 ng/mL, n = 196), high-dose LY315920Na/S-5920 (800 ng/mL, n = 194), or placebo (n = 196). Study medication was administered as a constant-rate i.v. infusion for 168 h. The study was stopped prematurely because it was unlikely that a statistically significant difference in mortality between LY315920Na/S-5920 and placebo would be found. There was no effect of LY315920Na/S-5920 on the primary end point of 28-day all-cause mortality across the entire study population. The 28-day all-cause mortality was distributed as follows: placebo group, 33.2% (65/196 patients); low-dose LY315920Na/S-5920, 37.2% (73/196); and high-dose LY315920Na/S-5920, 36.1% (70/194); p = .525. However, in a prospectively planned anal., there was a favorable overall dose-response effect on 28-day all-cause mortality in patients administered LY315920Na/S-5920 within 18 h of onset of the first sepsis-induced organ failure. Among these patients, 28-day all-cause mortality was distributed as follows: placebo group, 43.5% (20/46 patients); low-dose LY315920Na/S-5920, 31.4% (16/51); and high-dose LY315920Na/S-5920, 20.8% (10/48); p = .018. Thus, administration of LY315920Na/S-5920 had an acceptable safety profile in patients with severe sepsis. There was no overall survival benefit associated with the use of LY315920Na/S-5920 in this study. However, prospectively planned secondary analyses suggested that treatment with LY315920Na/S-5920 was associated with an improvement in survival in patients treated within 18 h of the first sepsis-induced organ failure.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:736140 CAPLUS
DOCUMENT NUMBER: 137:242179

TITLE: Remedies for arteriosclerosis

INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi; Hanasaki, Kohji

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002074342 A1 20020926 WO 2002-JP2585 20020319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2441110 AA 20020926 CA 2002-2441110 20020319
EP 1378246 A1 20040107 EP 2002-705327 20020319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2002008275 A 20040413 BR 2002-8275 20020319
CN 1553814 A 20041208 CN 2002-809552 20020319
US 2004248898 A1 20041209 US 2003-472234 20030922
PRIORITY APPLN. INFO.: JP 2001-78569 A 20010319
JP 2001-401289 A 20011228
WO 2002-JP2585 W 20020319

OTHER SOURCE(S): MARPAT 137:242179

AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type sPLA2 inhibitors.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:10308 CAPLUS
DOCUMENT NUMBER: 136:64151
TITLE: Secretory PLA2 inhibitors as remedies for Alzheimer's disease
INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000257	A1	20020103	WO 2001-JP5482	20010627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001067826	A5	20020108	AU 2001-67826	20010627
US 2004102442	A1	20040527	US 2002-312615	20021227
PRIORITY APPLN. INFO.:			JP 2000-195445 A	20000629
			WO 2001-JP5482 W	20010627

OTHER SOURCE(S): MARPAT 136:64151

AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating Alzheimer's disease.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:10307 CAPLUS
DOCUMENT NUMBER: 136:64164
TITLE: Remedies for cirrhosis
INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000256	A1	20020103	WO 2001-JP5481	20010627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001067825	A5	20020108	AU 2001-67825	20010627
US 2004106669	A1	20040603	US 2002-312366	20021226
US 6967200	B2	20051122		

PRIORITY APPLN. INFO.: JP 2000-195436 A 20000629
WO 2001-JP5481 W 20010627

OTHER SOURCE(S): MARPAT 136:64164

AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating cirrhosis.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:10306 CAPLUS
DOCUMENT NUMBER: 136:64112
TITLE: Remedies for cancer
INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000255	A1	20020103	WO 2001-JP5480	20010627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001067824	A5	20020108	AU 2001-67824	20010627
EP 1300159	A1	20030409	EP 2001-945613	20010627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TW 583000	B	20040411	TW 2001-90115543	20010627
US 2004077651	A1	20040422	US 2002-312451	20021227

PRIORITY APPLN. INFO.: JP 2000-195434 A 20000629
WO 2001-JP5480 W 20010627

OTHER SOURCE(S): MARPAT 136:64112

AB It is found out that type X secretory PLA2 inhibitors are useful in preventing or treating cancer.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:507563 CAPLUS
DOCUMENT NUMBER: 135:87174
TITLE: Combination therapy using a neutrophil elastase inhibitor and an secretory phospholipase A2 inhibitor for the treatment of inflammatory and respiratory diseases
INVENTOR(S): Macias, William Louis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001049323	A1	20010712	WO 2000-US34262		20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1259260	A1	20021127	EP 2000-990230		20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003519198	T2	20030617	JP 2001-549689		20001222
US 2003092767	A1	20030515	US 2002-149365		20020607
PRIORITY APPLN. INFO.:			US 2000-174723P	P	20000106
			WO 2000-US34262	W	20001222

OTHER SOURCE(S): MARPAT 135:87174
AB A pharmaceutical composition for the treatment of an inflammatory disease or a respiratory disease in mammals comprises, as active ingredients, a neutrophil elastase inhibitor and an sPLA2 inhibitor. Preparation of [(3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indole-4-yl)oxy]acetic acid is described.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:466526 CAPLUS
DOCUMENT NUMBER: 135:170901
TITLE: Characterization of pharmaceutical compounds and related substances by using HPLC FTICR-MS and tandem mass spectrometry
AUTHOR(S): Winger, Brian E.; Kemp, Craig A. J.
CORPORATE SOURCE: Eli Lilly and Co., Indianapolis, IN, 46285, USA
SOURCE: American Pharmaceutical Review (2001), 4(2), 55-56,58,60,62-63
CODEN: APHRFS; ISSN: 1099-8012
PUBLISHER: Russell Publishing L.L.C
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A method combining HPLC with FTICR-MS for the anal. of drug stress degradation products to improve identification ability of unknown compds. was presented. The exact mass information obtained in an online experiment drastically reduced the need for isolating and purifying substantial quantities of material. FTICR-MS is a vital tool for the anal. chemist involved with research and development in the pharmaceutical industry.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

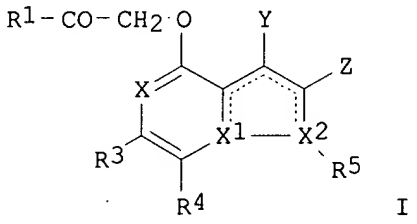
L3 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:283786 CAPLUS

DOCUMENT NUMBER: 134:290409
TITLE: Preparation of V type and/or X type sPLA2 inhibitors
INVENTOR(S): Ono, Takashi; Ueno, Masahiko; Hanasaki, Kohji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026653	A1	20010419	WO 2000-JP7024	20001010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-293273 A 19991015
OTHER SOURCE(S): MARPAT 134:290409
GI



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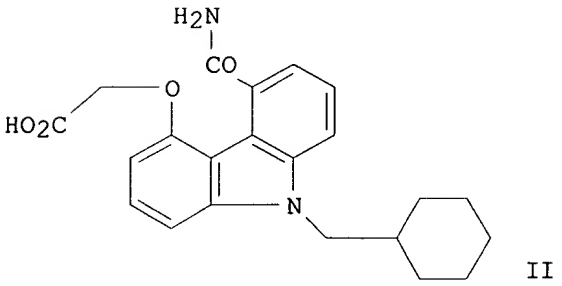


II

AB V type and/or X type sPLA2 inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CHR2, N; X1 = C, N; X2 = C, N; Y = R6; Z = R7; YZ = C(CONH2):CHCH:CH; R1 = OH, NHSO2C6H5; R2, R3, R4 independently = H, CH3, C6H5, F; ; R5 = 4-C6H5C6H4CH2, C6H5CH2, cyclohexylmethyl, 2-cyclopentylphenyl; R6 = H, C1-3 alkyl; R7 = COCONH2, CH2CONH2; dotted bond = single, double], prodrugs thereof, and pharmaceutically acceptable salts of the same or solvates of the same are prepared as V type and/or X type sPLA2 inhibitors. Thus, the title compound II was prepared and tested for X type sPLA2 inhibition with an IC50 of 3 nM.
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:227212 CAPLUS
DOCUMENT NUMBER: 135:40417

TITLE: A molecular modeling and 3D QSAR study of a large series of indole inhibitors of human non-pancreatic secretory phospholipase A2
AUTHOR(S): Bernard, Philippe; Pintore, Marco; Berthon, Jean-Yves; Chretien, Jacques R.
CORPORATE SOURCE: Laboratory of Chemometrics and BioInformatics, University of Orleans, Orleans, 45067, Fr.
SOURCE: European Journal of Medicinal Chemistry (2001), 36(1), 1-19
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Automated docking allowing protein-based alignment was performed for a series of 188 indole inhibitors of the human non-pancreatic secretory phospholipase A2 (hnps-PLA2). All the substituted indoles were docked to the crystal structure of hnps-PLA2 and a three-dimensional QSAR model was then established using the CoMFA method. The set of 188 compds. was divided into two subsets, the first one constituting the training set (126 compds.), while the second constituted the test set (62 compds.). The established CoMFA model derived from the training set was then applied to the test set. A good correlation between predicted and exptl. activity data allows to validate the 3D QSAR model. A second and global 3D QSAR including all the compds. was established, allowing the creation of the hnps-PLA2 pharmacophore.
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:146404 CAPLUS
DOCUMENT NUMBER: 134:347867
TITLE: An update on inhibitors of human 14 kDa Type II s-PLA2 in development
AUTHOR(S): Springer, Dane M.
CORPORATE SOURCE: Anti-infective Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Current Pharmaceutical Design (2001), 7(3), 181-198
CODEN: CPDEFP; ISSN: 1381-6128
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 101 refs. Recent progress in the development of inhibitors of human Type II s-PLA2 as potential anti-inflammatory agents is presented. While many companies have curtailed their efforts in the PLA2 area, Eli Lilly and Shionogi are continuing to advance LY-315920 (S-5920) as a potential treatment for sepsis and other diseases that have an inflammatory component. The Lilly developmental effort leading to LY-315920 is extensively reviewed, as well as the current status of other small mol. weight inhibitors of Type II s-PLA2 that have been reported to be in late-stage development.
REFERENCE COUNT: 112 THERE ARE 112 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:769082 CAPLUS
DOCUMENT NUMBER: 133:321890
TITLE: Preparation of morpholinoethyl ester derivative of an indole sPLA2 inhibitor
INVENTOR(S): Sawyer, Jason Scott; Morin, John Michael, Jr.; Beight, Douglas Wade; Sall, Daniel Jon; Buben, John Andrew
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140327	A	20001031	US 1999-310563	19990512
CA 2373532	AA	20001123	CA 2000-2373532	20000508
WO 2000069818	A1	20001123	WO 2000-US6704	20000508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010448	A	20020213	BR 2000-10448	20000508
EP 1181276	A1	20020227	EP 2000-930084	20000508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002544256	T2	20021224	JP 2000-618235	20000508
PRIORITY APPLN. INFO.:			US 1999-310563 A	19990512
			WO 2000-US6704 W	20000508

AB ((3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy)acetic acid morpholinoethyl ester was prepared Its use as a highly bioavailable indole compound for inhibiting sPLA2 mediated release of fatty acids for treatment of conditions such as septic shock was reported.

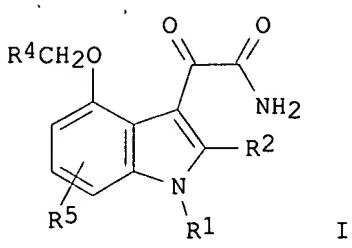
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:260237 CAPLUS
DOCUMENT NUMBER: 132:279109
TITLE: Process for preparing 4-substituted-1H-indole-3-glyoxamides
INVENTOR(S): Anderson, Benjamin Alan; Harn, Nancy Kay; Miller, Richard Duane; Plocharczyk, Edward Francis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021929	A1	20000420	WO 1999-US8325	19990415
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347718	AA	20000420	CA 1999-2347718	19990415
AU 9935644	A1	20000501	AU 1999-35644	19990415
EP 1119549	A1	20010801	EP 1999-917552	19990415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TW 472041	B	20020111	TW 1999-88106024	19990415
JP 2002527421	T2	20020827	JP 2000-575838	19990415
US 6380397	B1	20020430	US 2001-787587	20010319
PRIORITY APPLN. INFO.:			US 1998-103604P P	19981009
			WO 1999-US8325 W	19990415

OTHER SOURCE(S): CASREACT 132:279109; MARPAT 132:279109
GI



I

AB The title compds. [I; R1 = alkyl, (un)substituted CH2Ph, (CH2)2Ph, etc.; R2 = H, halo, alkyl, etc.; R4 = CO2H, SO3H, PO(OH)2, etc.; R5 = H, alkyl, alkoxy, etc.], useful for inhibiting sPLA2 (no data), were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = Et; R4 = COOMe; R5 = H], was given.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:260062 CAPLUS
DOCUMENT NUMBER: 132:284251
TITLE: Remedies or preventives containing sPLA2 inhibitors for ischemic reflow failure
INVENTOR(S): Todo, Satoru
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021563	A1	20000420	WO 1999-JP5528	19991007
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346334	AA	20000420	CA 1999-2346334	19991007
AU 9960047	A1	20000501	AU 1999-60047	19991007
EP 1157704	A1	20011128	EP 1999-970328	19991007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-292423 A 19981014
WO 1999-JP5528 W 19991007
OTHER SOURCE(S): MARPAT 132:284251
AB The invention relates to remedies or preventives for ischemic reflow failure which contain an sPLA2 inhibitor, e.g. [[3-[2-Amino-1,2-dioxoethyl]-2-methyl-1-[phenylmethyl]-1H-indol-4-yl]oxy]acetic acid, as active ingredient. Capsules were formulated containing sPLA2 inhibitor 250, starch 200 and magnesium stearate 10 mg/capsule.
REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:116896 CAPLUS
DOCUMENT NUMBER: 132:151679
TITLE: Preparation of indole sPLA2 inhibitors
INVENTOR(S): Mihelich, Edward David; Phillips, Michael Leroy; Warshawsky, Alan M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007591	A1	20000217	WO 1999-US17460	19990802
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338727	AA	20000217	CA 1999-2338727	19990802
AU 9953314	A1	20000228	AU 1999-53314	19990802
EP 1100493	A1	20010523	EP 1999-938937	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522386	T2	20020723	JP 2000-563276	19990802
US 6608099	B1	20030819	US 2001-762070	20010130
US 2003191175	A1	20031009	US 2003-395657	20030321
US 7026348	B2	20060411		

PRIORITY APPLN. INFO.:
US 1998-95109P P 19980803
WO 1999-US17460 W 19990802
US 2001-762070 A3 20010130

OTHER SOURCE(S): MARPAT 132:151679
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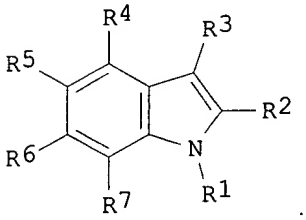

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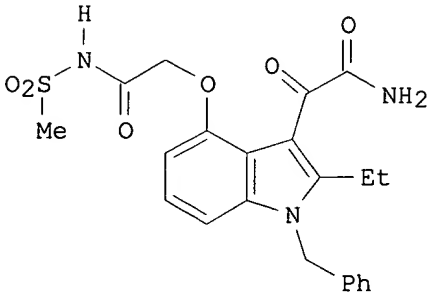


II

AB The title compds. [I; R1 = alkyl, haloalkyl, alkenyl, etc.; R2 = H, a group containing 1-4 non-hydrogen atoms; R3 = L3-Z (wherein L3 = CH2, O, S, NH, CO; Z = acetamide, thioacetamide, glyoxylamide, etc.); R4, R5 = H, non-interfering substituent, La-acylsulfonamide (La = a divalent linker having a linker length of 1-8; provided that at least one of R4 and R5 must be La-acylsulfonamide); R6, R7 = H, cycloalkyl, heterocyclyl, etc.], useful for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock, were prepared and formulated. Thus, reacting 1-benzyl-2-ethyl-4-carboxymethyloxy-indole-3-glyoxylamide (preparation given) with methanesulfonamide in the presence of 4-dimethylaminopyridine and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in CH2Cl2 afforded 19% II which showed IC50 of 12 nM against human secreted PLA2.

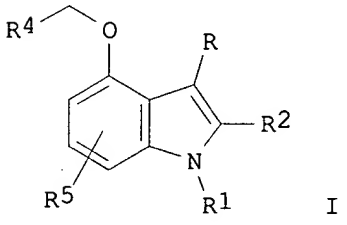
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:691078 CAPLUS
DOCUMENT NUMBER: 131:299367
TITLE: Process for preparing 1H-indole-3-glyoxamides

INVENTOR(S): Anderson, Benjamin Alan; Harn, Nancy Kay
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954300	A1	19991028	WO 1999-US8332	19990415
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326515	AA	19991028	CA 1999-2326515	19990415
AU 9935648	A1	19991108	AU 1999-35648	19990415
AU 750368	B2	20020718		
BR 9909697	A	20001219	BR 1999-9697	19990415
TR 200002999	T2	20010122	TR 2000-200002999	19990415
EP 1071663	A1	20010131	EP 1999-917556	19990415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002512225	T2	20020423	JP 2000-544641	19990415
EG 22139	A	20020830	EG 1999-1040	19990415
NZ 507175	A	20021220	NZ 1999-507175	19990415
US 6265591	B1	20010724	US 2000-647471	20000927
ZA 2000005294	A	20011001	ZA 2000-5294	20000929
NO 2000005148	A	20001109	NO 2000-5148	20001013
HR 2000000685	A1	20011031	HR 2000-685	20001017

PRIORITY APPLN. INFO.: US 1998-82110P P 19980417
WO 1999-US8332 W 19990415
OTHER SOURCE(S): CASREACT 131:299367; MARPAT 131:299367
GI



I

AB A multistep synthetic scheme for preparing title compds. [I; R = COCONH2; R1 = alkyl, (un)substituted CH2Ph, biphenylylmethyl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R4 = CO2H, SO3H, P(O)(OH)2, etc.; R5 = H, halo, (halo)alkyl, etc.] was disclosed. Thus, 2-(2-oxobutyl)-1,3-cyclohexanedione was cyclocondensed with PhCH2NH2 and the product aromatized to give, after etherification by BrCH2CO2Me, I (R = R5 = H, R1 = CH2Ph, R2 = Et, R4 = CO2Me).
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

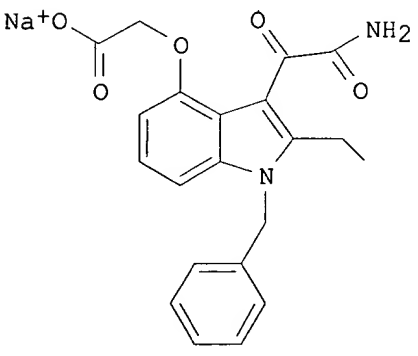
L3 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:576777 CAPLUS
DOCUMENT NUMBER: 131:204622
TITLE: Pharmaceutical compositions containing the phospholipase inhibitor sodium [[3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-phenylmethyl)-1H-indol-4-

yl]oxy]acetate
INVENTOR(S): Confer, William Lester; Tai, Hideaki
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944604	A1	19990910	WO 1999-US4516	19990302
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322796	AA	19990910	CA 1999-2322796	19990302
AU 9927998	A1	19990920	AU 1999-27998	19990302
AU 757002	B2	20030130		
TR 200002543	T2	20001121	TR 2000-200002543	19990302
BR 9908479	A	20001205	BR 1999-8479	19990302
EP 1058547	A1	20001213	EP 1999-908612	19990302
EP 1058547	B1	20051123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6166062	A	20001226	US 1999-260490	19990302
JP 2002505282	T2	20020219	JP 2000-534206	19990302
NZ 506578	A	20030926	NZ 1999-506578	19990302
AT 310513	E	20051215	AT 1999-908612	19990302
TW 570795	B	20040111	TW 1999-88103212	19990827
NO 2000004306	A	20001010	NO 2000-4306	20000829
JP 2006096761	A2	20060413	JP 2005-330964	20051116

PRIORITY APPLN. INFO.:
US 1998-76659P A2 19980303
JP 2000-534206 A3 19990302
WO 1999-US4516 W 19990302

GI



I

AB A lyophilized pharmaceutical composition is described which contains I, a solubilizer, and stabilizer. Such compns. are storage stable and readily dissolve in aqueous medium to give injectable solution for treatment of sepsis, etc. I was prepared and addition of tri-Na citrate solubilizer to I solns. improved stability of the solution

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 40 CAPLUS

ACCESSION NUMBER: 1999:166097 CAPLUS
DOCUMENT NUMBER: 130:332298
TITLE: Pharmacology of LY315920/S-5920, [[3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetate, a potent and selective secretory phospholipase A2 inhibitor: a new class of anti-inflammatory drugs, SPI
AUTHOR(S): Snyder, David W.; Bach, Nicholas J.; Dillard, Robert D.; Draheim, Susan E.; Carlson, Donald G.; Fox, Niles; Roehm, Neal W.; Armstrong, Christopher T.; Chang, Chan H.; Hartley, Lawrence W.; Johnson, Lea M.; Roman, Carlos R.; Smith, Amy C.; Song, Min; Fleisch, Jerome H.
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 288(3), 1117-1124
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB LY315920 is a potent, selective inhibitor of recombinant human, group IIA, nonpancreatic secretory PLA2 (sPLA2). In a chromogenic isolated enzyme assay, LY315920 inhibited sPLA2 activity with an IC50 of 9 ± 1 nM or 7.3 + 10-6 mole fraction, which approached the stoichiometric limit of this assay. The true potency of LY315920 was defined using a deoxycholate/phosphatidylcholine assay with a mole fraction of 1.5 + 10-6. LY315920 was 40-fold less active against human, group IB, pancreatic sPLA2 and was inactive against cytosolic PLA2 and the constitutive and inducible forms of cyclooxygenase. Human sPLA2-induced release of thromboxane A2 (TXA2) from isolated guinea pig lung bronchoalveolar lavage cells was inhibited by LY315920 with an IC50 of 0.79 μM. The release of TXA2 from these cells by N-formyl-methionyl-leucyl-phenylalanine or arachidonic acid was not inhibited. The i.v. administration of LY315920, 5 min before harvesting the bronchoalveolar lavage cells, resulted in the inhibition of sPLA2-induced production of TXA2 with an ED50 of 16.1 mg/kg. Challenge of guinea pig lung pleural strips with sPLA2 produced contractile responses that were suppressed in a concentration-dependent manner by LY315920 with an apparent KB of 83 ± 14 nM. Contractile responses induced by arachidonic acid were not altered. I.v. or oral administration of LY315920 to transgenic mice expressing the human sPLA2 protein inhibited serum sPLA2 activity in a dose-related manner over a 4-h time course. LY315920 is a potent and selective sPLA2 inhibitor and represents a new class of anti-inflammatory agent designated SPI. This agent is currently undergoing clin. evaluation and should help to define the role of sPLA2 in various inflammatory disease states.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:604907 CAPLUS
DOCUMENT NUMBER: 129:189241
TITLE: Preparation and formulation of indoledicarboxylic acid derivatives as sPLA2 inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Hagishita, Sanji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837069	A1	19980827	WO 1998-JP679	19980219

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,

NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

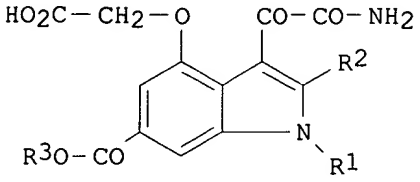
CA 2279211	AA	19980827	CA 1998-2279211	19980219
AU 9862292	A1	19980909	AU 1998-62292	19980219
EP 987250	A1	20000322	EP 1998-904379	19980219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO.:	JP 1997-35984	A 19970220
	WO 1998-JP679	W 19980219

OTHER SOURCE(S): MARPAT 129:189241

GI



I

AB The title compds. I [R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkyl, et.] are prepared In an in vitro test for sPLA2 inhibition, the title compound I [R1 = benzyl; R2 = ethyl; R3 = methyl] showed IC50 of 1.7 nM.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1996:713060 CAPLUS
DOCUMENT NUMBER:	126:69724
TITLE:	Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 3. Indole-3-glyoxamides
AUTHOR(S):	Draheim, Susan E.; Bach, Nicholas J.; Dillard, Robert D.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.
CORPORATE SOURCE:	Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE:	Journal of Medicinal Chemistry (1996), 39(26), 5159-5175 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB The preceding papers of this series detail the development of functionalized indole-3-acetamides as inhibitors of hnps-PLA2. We describe here the extension of the structure-activity relationship to include a series of indole-3-glyoxamide derivs. Functionalized indole-3-glyoxamides with an acidic substituent appended to the 4- or 5-position of the indole ring were prepared and tested as inhibitors of hnps-PLA2. It was found that the indole-3-glyoxamides with a 4-oxyacetic acid substituent had optimal inhibitory activity. These inhibitors exhibited an improvement in potency over the best of the indole-3-acetamides, and LY315920 (6m) was selected for evaluation clin. as an hnps-PLA2 inhibitor.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT